Welcome to STN International \* \* \* \* \* STN Columbus \* \* \* \* FILE 'HOME' ENTERED AT 13:00:31 ON 09 FEB 2006 => file reg => Uploading C:\Program Files\Stnexp\Queries\10509396.str STRUCTURE UPLOADED => dis 11 L1-HAS NO ANSWERS L1 STR Ak 1-5 Hy Structure attributes must be viewed using STN Express query preparation. => s 11 sam L29 SEA SSS SAM L1 => s l1 full 331 SEA SSS FUL L1 => file caplus

=> s 13L410 L3 => s 14 and pd<feb 2002 22507607 PD<FEB 2002 (PD<20020200) L5 2 L4 AND PD<FEB 2002 => dis 15 1-2 bib abs hitstr L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN AN 1997:549356 CAPLUS DN 127:152950 TI Multiple unit effervescent dosage forms comprising proton pump inhibitor IN Lundberg, Per Johan Astra Aktiebolag, Swed.; Lundberg, Per Johan PA SO PCT Int. Appl., 56 pp. CODEN: PIXXD2 DT Patent LA English

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FAN.CNT 1
    PATENT NO.
                       KIND
                              DATE
                                        APPLICATION NO.
                                                               DATE
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                              19970717 WO 1996-SE1738
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PΙ
    WO 9725030
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            DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
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PRAI SE 1996-73
                        Α
                              19960108
    WO 1996-SE1738
                        W
                              19961220
os
    MARPAT 127:152950
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A new tabletted multiple unit effervescent dosage form containing an acid AB susceptible proton pump inhibitor in the form of the racemate, an alkaline salt thereof or one of its single enantiomers or an alkaline salt thereof, and effervescent tablet constituents are claimed (Markush structure given). The proton pump inhibitor is preferably omeprazole or an alkaline salt thereof, or S-omeprazole or an alkaline salt thereof. Pellets comprising non-pareil cores 400, lansoprazole 400, hydroxypropyl Me cellulose 80, sodium lauryl sulfate 3, and water 1360 g were prepared The above pellets (100 g) were coated with a solution comprising hydroxypropyl Me cellulose 9, polyethylene glycol-6000 1, talc 18, 95% ethanol 250, and water 250 g. The above sub-coated pellets were enteric coated with a solution comprising hydroxypropyl Me cellulose phthalate 40, acetyltributyl citrate 8, cetanol 2, 95% ethanol 162, and acetone 378 g. The enteric-coated pellets were mixed with effervescent granules (preparation given) and compressed into tablets.

IT 193335-90-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (multiple unit effervescent dosage forms comprising proton pump inhibitor)

RN 193335-90-9 CAPLUS

CN Ethanone, 2-(4-methoxy-3,5-dimethyl-2-pyridinyl)-1-(5-methyl-1H-imidazo[4,5-b]pyridin-2-yl)- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:665761 CAPLUS

DN 123:340706

TI Synthesis and bioactivity of substituted adenines and adenosines

AU Deng, H. F.; Jiang, Y. Z.; Zhao, Z. Z.

CS Inst. Mater. Med., Chin. Acad. Med. Sci., Beijing, 100050, Peop. Rep. China

SO Yaoxue Xuebao (1995), 30(5), 347-56

CODEN: YHHPAL; ISSN: 0513-4870

PB Chinese Academy of Medical Sciences, Institute of Materia Media

DT Journal

LA Chinese

GΙ

Title compds. e.g., I (R = H, 2-pyridylmethyl, 3-methyl-2-pyridylmethyl, 3,5-dimethyl-2-pyridylmethyl, 3,5-dimethyl-4-methoxy-2-pyridylmethyl; R1 = PhCH2, ribosyl, 2-pyridylmethyl, 3-methyl-2-pyridylmethyl, 3,5-dimethyl-2-pyridylmethyl, 5-acetoxy-2-pyridylmethyl) were prepared using adenine and adenosine as starting materials. The structures of these compds. were identified with MS, 1HNMR and UV spectra. All adenosine derivs. and some adenine derivs. synthesized were studied for adenosine receptor activity. I (R = 2-pyridylmethyl, R1 = ribosyl) was 33 times more active than adenosine.

IT 170451-99-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and bioactivity of substituted adenines and adenosines)

RN 170451-99-7 CAPLUS

CN 9H-Purin-6-amine, 9-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} \\ & \text{N} \end{array} \begin{array}{c} & \text{Me} \\ & \text{N} \\ & \text{N} \end{array} \begin{array}{c} & \text{OMe} \\ & \text{Me} \end{array}$$

IT 170452-03-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis and bioactivity of substituted adenines and adenosines)

RN 170452-03-6 CAPLUS

CN 3H-Purin-6-amine, 3-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

=> s 14 not 15

L6 8 L4 NOT L5

=> dis 16 1-8 bib abs

L6 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:588961 CAPLUS

DN 143:115536

TI A preparation of (aminopyridinylethyl)imidazolopyridine derivatives, useful as inductible NO-synthase inhibitors

IN Boer, Rainer; Marx, Degenhard; Ulrich, Wolf-Ruediger; Eltze, Manfrid; Nave, Ruediger; Strub, Andreas; Graedler, Ulrich; Fuchss, Thomas

PA Altana Pharma A.-G., Germany

SO PCT Int. Appl., 63 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2005061496 A1 20050707 WO 2004-EP52373 20040930

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI EP 2003-22040 A 20031001 OS MARPAT 143:115536

GI

The invention relates to a preparation of (aminopyridinylethyl)imidazolopyridin e derivs. of formula I [wherein: R1 is H or alkyl; R2 is H, halogen, NH2, (cyclo)alkyl, or CF3, etc.; R3 is H, halogen, alkyl, or alkoxyl R4 is alkyl or alkoxy], useful as antiinflammatory agents (inductible NO-synthase inhibitors). For instance, (aminopyridinylethyl)imidazolopyri dine derivative II was prepared via condensation of 4-methyl-2-(tritylamino)picolinaldehyde with [3H-imidazo[4,5-b]pyridin-2-ylmethyl]triphenylphosphonium chloride and subsequent reduction of the obtained intermediate. The invention compds. were tested for NO-synthase activity [-logIC50(mol/L) values range from 6.58 to 8.15].

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

Ι

L6 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:300447 CAPLUS

DN 142:373838

TI Preparation of imidazopyridine derivatives as inducible NO-synthase inhibitors

```
IN
     Fuchss, Thomas; Martin, Thomas; Boer, Rainer; Strub, Andreas; Eltze,
     Manfrid; Lehner, Martin; Ulrich, Wolf-Ruediger
PA
     Altana Pharma A.-G., Germany
SO
     PCT Int. Appl., 34 pp.
     CODEN: PIXXD2
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     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
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                                                                            DATE
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ΡI
     WO 2005030771
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              SN, TD, TG
PRAI EP 2003-22053
                             Α
                                    20031001
     MARPAT 142:373838
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GI
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AB Title compds. I [R1 = H, alkyl; R2 = H, alkyl; R3 = H, halo; R4 = H, halo, alkyl, alkoxy; R5 = alkyl; A = alkylene] and their resp. pharmaceutically acceptable salts, are prepared and disclosed as inducible no-synthase inhibitors. Thus, e.g., II was prepared via Suzuki coupling of 2-[2-(4-methoxypyridin-2-yl)ethyl]-6-iodo-3H-imidazo[4,5-b]pyridine (preparation given) with N,N-dimethyl-4-bromobenzenesulfonamide. The activity of I towards inducible NO-synthase was evaluated in inhibition assays and

II

1

revealed -logIC50 values in the range of 7.45 up to 7.86 mol/L. I as inducible NO-synthase inhibitors should prove useful in the treatment of acute and chronic inflammatory diseases.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L6
    ANSWER 3 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
    2005:300446 CAPLUS
AN
DN
    142:373837
    Preparation of imidazopyridine derivatives as inducible NO-synthase
TI
    inhibitors
IN
    Fuchss, Thomas; Martin, Thomas; Boer, Rainer; Strub, Andreas; Eltze,
    Manfrid; Lehner, Martin; Ulrich, Wolf-Ruediger
PA
    Altana Pharma A.-G., Germany
SO
    PCT Int. Appl., 66 pp.
    CODEN: PIXXD2
DT
    Patent
LA
    English
FAN.CNT 1
    PATENT NO.
                                        APPLICATION NO.
                       KIND
                              DATE
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PΙ
    WO 2005030770
                              20050407
                                        WO 2004-EP52377
                        A1
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PI WO 2005030770 A1 20050407 WO 2004-EP52377 20040930

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LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
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SN, TD, TG

PRAI EP 2003-22046 A 20031001

OS MARPAT 142:373837

GI

Ι

MeO 
$$N-Me$$
  $N-Me$ 

AB Title compds. I [R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkoxyalkyl, hydroxyalkyl, etc.; R3 = alkyl, CF3, completely or predominantly F-substituted alkoxy, etc.; R1 and R2 together = (un)saturated-, (un)substituted-nitrogen heterocycle; R4 = H, halo, alkyl, alkoxy; R5 = alkyl; A = alkylene] and their resp. pharmaceutically acceptable salts, are prepared and disclosed as inducible no-synthase inhibitors. Thus, e.g., II was prepared via Suzuki coupling of 2-[2-(4-methoxypyridin-2-yl)ethyl]-6-iodo-3H-imidazo[4,5-b]pyridine (preparation given) with 1-(4-bromo-benzene-sulfonyl)-4-methyl-piperazine. The activity of I towards inducible NO-synthase was evaluated in inhibition assays and revealed -logIC50 values in the range of 6.51 up to 7.89 mol/L. I as inducible NO-synthase inhibitors should prove useful in the treatment of acute and chronic inflammatory diseases.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
- AN 2005:300445 CAPLUS
- DN 142:373836
- TI Preparation of imidazopyridine derivatives as inducible NO-synthase inhibitors
- IN Fuchss, Thomas; Martin, Thomas; Boer, Rainer; Strub, Andreas; Eltze,
  Manfrid; Lehner, Martin; Marx, Degenhard; Ulrich, Wolf-Ruediger
- PA Altana Pharma A.-G., Germany
- SO PCT Int. Appl., 41 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
ΡI	WO 2005030769	A1	20050407	WO 2004-EP52376	20040930			
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PRAI EP 2003-22064 A 20031001 OS MARPAT 142:373836 GI

$$R^{1}$$
 $A$ 
 $N$ 
 $N$ 
Het

Title compds. I [R1 = alkoxy; A = alkylene; R2 = H, halo, alkyl, alkoxy; Het = (un)substituted monocyclic or fused 5-10 membered (un)saturated heteroaryl containing 1-3 heteroatoms selected from N, O, and S] and their resp. pharmaceutically acceptable salts, are prepared and disclosed as inducible no-synthase inhibitors. Thus, e.g., II was prepared via Suzuki coupling of 2-[2-(4-methoxypyridin-2-yl)ethyl]-6-iodo-3H-imidazo[4,5-b]pyridine (preparation given) with 2-furanylboronic acid. The activity of I towards inducible NO-synthase was evaluated in inhibition assays and revealed -logIC50 values from 6.61 up to 7.61 mol/L. I as inducible NO-synthase inhibitors should prove useful in the treatment of acute and chronic inflammatory diseases.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

Ι

L6 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:300444 CAPLUS

DN 142:373835

TI Preparation of imidazopyridine derivatives as inducible NO-synthase inhibitors

IN Boer, Rainer; Ulrich, Wolf-Ruediger; Eltze, Manfrid; Marx, Degenhard; Graedler, Ulrich; Fuchss, Thomas

PA Altana Pharma A.-G., Germany

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SO
     PCT Int. Appl., 31 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
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                                DATE
                                           APPLICATION NO.
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PΙ
     WO 2005030768
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                                20050407
                                            WO 2004-EP52370
                                                                   20040930
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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
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         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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            SN, TD, TG
PRAI EP 2003-22042
                          Α
                                20031001
    MARPAT 142:373835
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GI
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$$R^2$$
 $N$ 
 $R^1$ 
 $R^5$ 
 $N$ 
 $R^3$ 
 $N$ 
 $N$ 
 $N$ 
 $N$ 
 $N$ 
 $N$ 
 $N$ 

AB Title compds. I [R1 = H, alkyl; R2 = H, halo, OH, etc.; R3 = H, halo, alkyl, alkoxy; R4 = alkyl; R5 = alkyl] and their resp. pharmaceutically acceptable salts, are prepared and disclosed as inducible no-synthase inhibitors. Thus, e.g., II was prepared via Wittig reaction of triphenyl-{1-(3H-imidazo[4,5-b]pyridin-2-yl)-propyl}-phosphonium chloride (preparation given) with 4-methoxypyridine-2-carboxaldehyde and subsequent hydrogenation. The activity of II towards inducible NO-synthase was evaluated in an inhibition assay and revealed a -logIC50 value of 7.15 mol/L. I as inducible NO-synthase inhibitors should prove useful in the treatment of acute and chronic inflammatory diseases.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

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AN 2005:283463 CAPLUS
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DN 142:336389

TI Preparation of novel heterocyclic compounds such as aminopurines, aminopyrrolopyrimidines, aminopyrazolopyrimidines and aminotriazolopyrimidines as HSP90-inhibitors

IN Kasibhatla, Srinivas R.; Boehm, Marcus F.; Hong, Kevin D.; Biamonte, Marco A.; Shi, Jiandong; Le Brazidec, Jean-yves; Zhang, Lin; Hurst, David

PA Conforma Therapeutics Corporation, USA

SO PCT Int. Appl., 506 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.	PATENT NO.				KIND DATE			APPLICATION NO.						DATE			
ΡI	WO 2005028434			A2	-	20050331		WO 2004-US31248									
	W:	AE, AG	, AL,	AM, AT, AU, AZ,		BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,			
		CN, CO	, CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE, GH	, GM,	HR,	HR, HU, ID, IL,		IN,	IS,	JΡ,	KE,	KG,	ΚP,	KR,	KZ,	LC,		
		LK, LR	, LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO, NZ	, OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		TJ, TM		•	•	•			•	•				-			
	RW:	BW, GH		•	•	•	•	•		•		•	•	-		•	
		AZ, BY		•	•	•		•	•	•		•				•	
		EE, ES		•	•				-			-	-	-			
		SI, SK		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	
		SN, TD	•														
	US 2005			A1 20050519										20040920			
	US 2005																
		113340		A1 20050526													
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PRAI	US 2003					2003											
	US 2004	-591467	P	P		2004	0726										
os	MARPAT	142:336	389														
GI																	

$$X^{1}$$
 $X^{2}$ 
 $X^{4}$ 
 $X^{4}$ 
 $X^{2}$ 
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 $X^{4}$ 
 $X^{4}$ 
 $X^{2}$ 
 $X^{4}$ 
 $X^{4$ 

AB Novel heterocyclic compds. (shown as I; other Markush structures are given in the claims; variables defined below; e.g. [4-chloro-7-(4-methoxy-3,5-dimethylpyridin-2-ylmethyl)-7H-pyrrolo[2,3-d]pyrimidin-2-yl]amine (shown as II)) are described and demonstrated to have utility as Heat Shock

Protein 90 (HSP90) inhibiting agents. Method of synthesis and use of such compds. are also described. For I: X1 and X2 are N or -CR6; X3 is N or -CR3 (R3 is H, OH, a keto tautomer, -OR8, -CN, halogen, lower alkyl, or -C(O)R9); X4 is N or a group CR6 when X3 is N, and X4 is -CR6R7 when X3 is -CR3; R1 is halogen, -OR8, -SR8, or lower alkyl; R2 is -NR8R10; R4 is -(CH2)n- where n = 0-3, -C(O), -C(S), -SO2-, or -SO2N-; and R5 is alkyl, aryl, heteroaryl, alicyclic, heterocyclic, all optionally bi- or tricyclic, and (un)substituted with H, halogen, lower alkyl, lower alkenyl, lower alkynyl, lower aryl, lower alicyclic, aralkyl, aryloxyalkyl, alkoxyalkyl, perhaloalkyl, perhaloalkyloxy, perhaloacyl, -N3, -SR8, -OR8, -CN, -CO2R9, -NO2, or -NR8R10; with provisos. Methods of preparation are claimed and >200 example prepns. are included. For example, II was prepared by alkylation of (4-chloro-7H-pyrrolo[2,3-d]pyrimidin-2-yl)amine with 2-chloromethyl-4-methoxy-3,5-dimethylpyridine hydrochloride.

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L6 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
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AN 2003:777790 CAPLUS

DN 139:292156

- TI Preparation of alkoxypyridines as inducible nitric oxide synthase (iNOS) inhibitors
- IN Boer, Rainer; Marx, Degenhard; Eltze, Manfrid; Klein, Thomas; Nave, Ruediger; Graedler, Ulrich; Fuchss, Thomas; Barsig, Johannes; Ulrich, Wolf-Ruediger
- PA Altana Pharma A.-G., Germany
- SO PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

		KIND DATE	APPLICATION NO.	DATE			
ΡI			WO 2003-EP3076	20030325			
			CO, CU, DZ, EC, GE, HR,				
	IS, JP, KR,	LT, LV, MA, MK,	MX, NO, NZ, PH, PL, SG,	TN, UA, US,			
	VN, YU, ZA,	ZW					
			TJ, TM, AT, BE, BG, CH,				
	DK, EE, ES,	FI, FR, GB, GR,	HU, IE, IT, LU, MC, NL,	PT, RO, SE,			
	SI, SK, TR						
	CA 2480385	AA 20031002	CA 2003-2480385	20030325			
			AU 2003-226706	20030325			
	EP 1490366	A1 20041229	EP 2003-744851				
	R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,			
			CY, AL, TR, BG, CZ, EE,				
	BR 2003008785	A 20050111	BR 2003-8785	20030325			
	US 2005171125	A1 20050804	US 2003-509396	20030325			
	JP 2005525388	T2 20050825	JP 2003~578361	20030325			
			NO 2004-4633				
PRAI	EP 2002-7049	A 20020327					
	WO 2003-EP3076	W 20030325					
os	MARPAT 139:292156						
GI							

Page 12

AB Title compds. I [wherein R1 = alkoxy; A = alkylene; B = (un)substituted 3H-imidazo[4,5-b]pyridin-2-yl, 9H-purin-8-yl; their salts, N-oxides, and salts of the N-oxides] were prepared as inducible NO-synthase (iNOS) inhibitor for treatment of acute inflammatory diseases and chronic inflammatory diseases of peripheral organs and central nervous system (CNS). For example, II (m.p. = 116-117°) was prepared by cyclocondensation of Me 3-(4-methoxypyridin-2-yl)propionate (preparation given) with 2,3-diaminopyridine in the presence of polyphosphoric acid at 160° for 1 h. Selected invention compds. inhibited iNOS with -logIC50 (M) in the range of 7.03-7.55. Thus, I and their pharmaceutical compns. are useful for treating acute inflammatory diseases, chronic inflammatory diseases of peripheral organs and CNS and cancer (no data).

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:539534 CAPLUS

DN 137:109285

TI Preparation of triazolo[4,5-d]pyrimidines as purinergic receptor antagonists

IN Gillespie, Roger John; Lerpiniere, Joanne; Gaur, Suneel; Bamford, Samantha Jayne; Stratton, Gemma Caroline; Leonardi, Stefania; Weiss, Scott Murray

PA Vernalis Research Limited, UK

SO PCT Int. Appl., 157 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

		_																	
	PATENT NO.					KIND DATE			APPLICATION NO.						DATE				
ΡI	WO 2002055083			A1 20020718			WO 2002-GB91						20020110						
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	
			UA,	ŪĠ,	US,	UΖ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	
			ТJ,	TM															
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,	

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2433453 AA 20020718 CA 2002-2433453 20020110 EP 1392312 A1 20040303 EP 2002-729452 20020110 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR CN 1496262 20040512 CN 2002-806303 20020110 Α NZ 527248 Α 20040528 NZ 2002-527248 20020110 JP 2004517862 T2 20040617 JP 2002-555817 20020110 BR 2002006559 20040622 BR 2002-6559 20020110 Α ZA 2003005087 20040712 ZA 2003-5087 20020110 Α NO 2003003146 20030909 NO 2003-3146 20030709 Α US 2004097526 A1 20040520 US 2003-250942 20031008 PRAI GB 2001-624 20010110 Α WO 2002-GB91 W 20020110 MARPAT 137:109285 OS GI

$$\begin{array}{c|c}
R^2 \\
N \\
N \\
N \\
R^3
\end{array}$$

The title compds. [I; R1 = H, alkyl, aryl, etc.; R2 = aryl attached via an unsatd. carbon; R3 = H, alkyl, COR5, CO2R7, CONR5R6, CONR4NR5R6, SO2R7; R4-R6 = H, alkyl, aryl; or NR5R6 = heterocyclyl; or where R4-R6 are in a CONR4NR5R6 group, R4 and R5 may be linked to form a heterocyclic group; R7 = alkyl, aryl], useful in the treatment or prevention of a disorder in which the blocking of purine receptors, particularly adenosine receptors and more particularly A2A receptors, may be beneficial, particularly wherein said disorder is a movement disorder such as Parkinson's disease or depression, cognitive or memory impairment, acute or chronic pain, ADHD or narcolepsy, or for neuroprotection, were prepared Thus, reacting 7-(2-furyl)-1H-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (preparation given) with 2-fluorobenzyl bromide in the presence of NaH in DMF afforded 22% I [R1 = NH2; R2 = 2-furyl; R3 = 2-FC6H4CH2] which showed Ki of 3 nM against A2A receptor binding.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y COST IN U.S. DOLLARS TOTAL SINCE FILE ENTRY SESSION FULL ESTIMATED COST 202.60 35.01 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL **ENTRY** SESSION CA SUBSCRIBER PRICE -7.50 -7.50

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ring nodes :
   1 2 3 4 5 6
Chain bonds :
   4-7 6-10 7-8 10-11
ring bonds :
   1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
   4-7 7-8 10-11
exact bonds :
   6-10
normalized bonds :
   1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
   containing 1 :
Match level :
   1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS 11:Atom
Generic attributes :
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Number of Carbon Atoms : less than 7 Number of Hetero Atoms : 2 or more
Type of Ring System : Polycyclic
Element Count :
   Node 11: Limited
       N,N2
end
07.71
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chain nodes :